* * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

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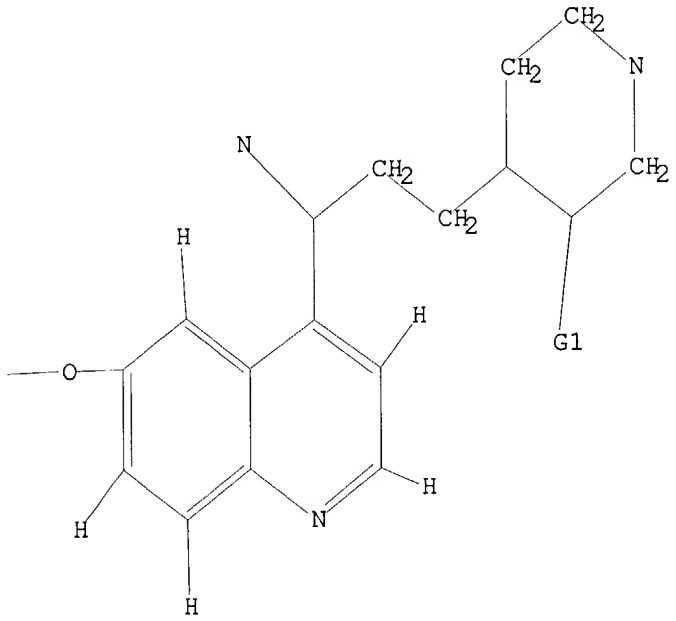

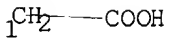

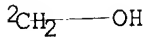

G1 CO2H,COOH,[@1],[@2]

Structure attributes must be viewed using STN Express query preparation.

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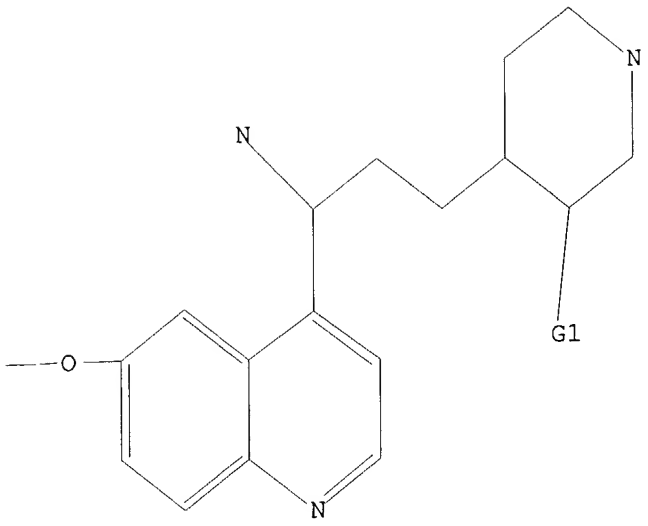
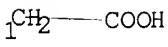
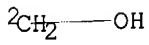
L4 HAS NO ANSWERS
L4 STR







G1 CO2H,COOH,[@1],[@2]

Structure attributes must be viewed using STN Express query preparation.

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=> file ca
=> s l6
L7             2 L6

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L7 ANSWER 1 OF 2 CA COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 140:146015 CA
TITLE: Preparation of quinolylpropylpiperidines as antimicrobial agents
INVENTOR(S): Bacque, Eric; Malleron, Jean Luc; Mignani, Serge; Tabart, Michel
PATENT ASSIGNEE(S): Aventis Pharma SA, Fr.
SOURCE: Fr. Demande, 39 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2842807	A1	20040130	FR 2002-9334	20020723
US 2004058919	A1	20040325	US 2003-622655	20030718
WO 2004011454	A2	20040205	WO 2003-FR2306	20030722
WO 2004011454	A3	20040408		

W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CO, CR, CU, CZ, DM, DZ, EC, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SC, SG, SK, TN, TT, UA, UZ, VC, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: FR 2002-9334 A 20020723
OTHER SOURCE(S): MARPAT 140:146015
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein R1 = alkyl/dialkyl/hydroxy/alkyloxy/ alkyl alkyloxy/amino; R2 = carboxy, carboxymethyl, hydroxymethyl; R3 = (un)substituted alkyl, propargyl; R4 = alkyl, alkenyl-CH2 -, alkynyl-CH2-, cycloalkyl, cycloalkylalkyl; diastereoisomeric forms, mixts. thereof, cis or trans forms, and their salts] were prepd. as antimicrobial agents. Two synthetic examples are given. For example, II was prepd in 7 steps from olefin III by oxidn. with NaMnO4 to the acid concomitant with N-BOC-protection, esterification, followed by BOC deprotection, N-alkylation with propargylic alc., reaction of the resulting alkyne with 1-bromo-2,3,5-trifluorobenzene, oximation, redn. of the oxime, and hydrolysis of the ester. I were active against exptl. infections of mice by Staphylococcus aureus IP8203 at 65 mg/kg s.c., and at 70 mg/kg orally. None of the compds. showed acute toxicity in mice at 100 mg/kg s.c. (2 administrations).

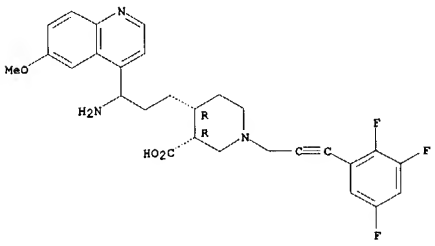
IT **651320-88-6P**, (3R,4R)-1-[3-(2,3,5-Trifluorophenyl)prop-2-ynyl]-4-[3-(R,S)-amino-3-(6-methoxyquinolin-4-yl)propyl]piperidine-3-carboxylic

L7 ANSWER 1 OF 2 CA COPYRIGHT 2004 ACS on STN (Continued)

acid **651320-92-2P**
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antimicrobial agent; prepn. of quinolylpropylpiperidines as antimicrobial agents)

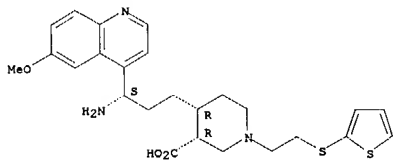
RN 651320-88-6 CA
CN 3-Piperidinecarboxylic acid, 4-[3-amino-3-(6-methoxy-4-quinolinyl)propyl]-1-[3-(2,3,5-trifluorophenyl)-2-propynyl]-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 651320-92-2 CA
CN 3-Piperidinecarboxylic acid, 4-[(3R)-3-amino-3-(6-methoxy-4-quinolinyl)propyl]-1-[2-(2-thienylthio)ethyl]-, (3S,4S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 2 CA COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 137:232568 CA
TITLE: Quinolyl propyl piperidine derivatives, the preparation thereof and compositions containing same, useful as antimicrobials
INVENTOR(S): Bacque, Eric; Mignani, Serge; Malleron, Jean-Luc; Tabart, Michel; Evers, Michel; Viviani, Fabrice; El-Ahmad, Youssef; Mutti, Stephane; Daubie, Christophe
PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

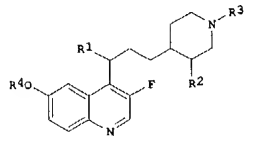
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002072572	A1	20020919	WO 2002-FR851	20020311
FR 2822154	A1	20020920	FR 2001-3374	20010313
EP 1370550	A1	20031217	EP 2002-722329	20020311
US 2002177606	A1	20021128	US 2002-96482	20020313
US 6602884	B2	20030805		
US 2003171369	A1	20030911	US 2003-387479	20030314

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.:
FR 2001-3374 A 20010313
US 2001-281407P P 20010405
WO 2002-FR851 W 20020311
US 2002-96482 A3 20020313

OTHER SOURCE(S): MARPAT 137:232568
GI

L7 ANSWER 2 OF 2 CA COPYRIGHT 2004 ACS on STN (Continued)



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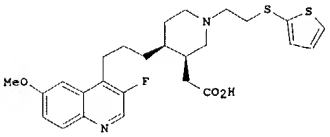
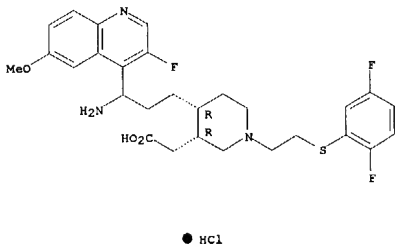


II

AB New 4-[3-(Quinol-4-yl)propyl]piperidine derivs. I are disclosed [wherein R1 = H, halo, OH, NH2, alkylamino, dialkylamino, hydroxyamino, alkoxyamino, or alkylalkoxyamino; R2 = COOH, CH2CO2H, CH2OH; R3 = C1-6 alkyl substituted by: (un)substituted SPh [which can include 1-4 substituents chosen from halo, OH, alkyl, alkoxy, CF3, CF3O, CO2H, alkyloxycarbonyl, cyano, or NH2], by 3- to 7-membered cycloalkylthio, or by 5- to 6-membered arom. heterocyclylthio comprising 1-4 N/O/S atoms and optionally substituted by halo, OH, alkyl, alkoxy, CF3, CF3O, oxo, COOH, alkyloxycarbonyl, cyano, or NH2; or R3 = propargyl substituted by: Ph [which can include 1-4 substituents chosen from halo, OH, alkyl, alkoxy, CF3, CF3O, CO2H, alkyloxycarbonyl, cyano, or NH2], by cycloalkyl contg. 3 -7 members, or by 5- to 6-membered arom. heterocyclyl with 1-4 N/O/S atoms
[and (un)substituted by halo, OH, alkyl, alkoxy, CF3, CF3O, oxo, COOH, alkyloxycarbonyl, cyano, or NH2]; R4 = C1-6 alkyl, alkenyl-CH2, or alkynyl-CH2- (alkenyls or alkynyls comprise 2-6 C atoms), cycloalkyl, or cycloalkylalkyl (cycloalkyls comprises 3-8 C atoms); including diastereoisomeric forms, mixts. thereof, cis or trans forms, and salts thereof]. The novel derivs. are particularly interesting as antimicrobial
agents. Ten synthetic examples are given. For instance, Wittig reaction of 4(RS)-4-allyl-1-(benzyloxycarbonyl)piperidin-3-one with Ph3P:CHCO2Me gave a Z-isomeric exocyclic olefin, which underwent hydroboration at allyl
and Pd-catalyzed coupling with 4-iodo-3-fluoro-6-methoxyquinoline, followed by hydrogenation of the olefin with concomitant N-deprotection, N-alkylation with 2-(2-bromoethylthio)thiophene, and sapon. of the Me ester, to give the racemic title compd. II.2HCl. Compds. I were active against exptl. infections of mice by Staphylococcus aureus IP 8203 at

L7 ANSWER 2 OF 2 CA COPYRIGHT 2004 ACS on STN (Continued)



● HCl

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

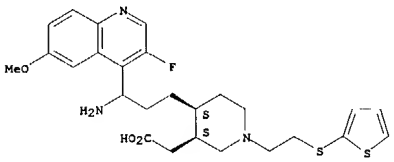
L7 ANSWER 2 OF 2 CA COPYRIGHT 2004 ACS on STN (Continued)
12-150 mg/kg s.c., and at 26-150 mg/kg orally. None of the compds. showed
toxicity in mice at 100 mg/kg s.c. (2 administrations).

IT **459452-88-1P**, (3RS,4RS)-4-{3-(R,S)-Amino-3-(3-fluoro-6-methoxyquinolin-4-yl)propyl]-1-{2-[(thien-2-yl)thio]ethyl]piperidine-3-acetic acid **459452-90-5P**, (3RS,4RS)-4-{3-(R,S)-Amino-3-(3-fluoro-6-methoxyquinolin-4-yl)propyl]-1-{2-[(2,5-difluorophenyl)thio]ethyl]piperidine-3-acetic acid hydrochloride
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of (quinolylpropyl)piperidine derivs. as antimicrobials)

RN 459452-88-1 CA

CN 3-Piperidineacetic acid, 4-[3-amino-3-(3-fluoro-6-methoxy-4-quinolinyl)propyl]-1-[2-(2-thienylthio)ethyl]-, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 459452-90-5 CA

CN 3-Piperidineacetic acid, 4-[3-amino-3-(3-fluoro-6-methoxy-4-quinolinyl)propyl]-1-[2-[(2,5-difluorophenyl)thio]ethyl]-, monohydrochloride, (3R,4R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

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L8 ANSWER 1 OF 6 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 140:253457 MARPAT
TITLE: Quinolyl propyl piperidine derivatives, the preparation thereof and compositions containing same, useful as antimicrobials
INVENTOR(S): Bacque, Eric; Bigot, Antony; El Ahmad, Youssef; Malleron, Jean Luc; Mignani, Serge; Ronan, Baptiste; Tabart, Michel; Viviani, Fabrice
PATENT ASSIGNEE(S): Aventis Pharma SA, Fr.
SOURCE: Fr. Demande, 96 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2844268	A1	20040312	FR 2002-11213	20020911
WO 2004024713	A1	20040325	WO 2003-FR2687	20030910
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MC, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NL, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004082610	A1	20040429	US 2003-659095	20030910
PRIORITY APPLN. INFO.:			FR 2002-11213	20020911

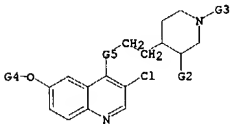
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB New 4-[3-(Quinol-4-yl)propyl]piperidine derivs. I are disclosed [wherein R1a = H, halo, OH, NH2, alkylamino, dialkylamino, hydroxyamino, alkoxyamino, or alkylalkoxyamino; R1b = H, or R1aR1b = oxo; R2 = COOH, CH2CO2H, CH2OH; R3 = C1-6 alkyl substituted by: (un)substituted SPh [which can include 1-4 substituents chosen from halo, OH, alkyl, alkoxy, CF3, CF3O, CO2H, alkyloxycarbonyl, cyano, or NH2], by 3- to 7-membered cycloalkylthio, or by 5- to 6-membered arom. heterocyclylthio comprising 1-4 N/O/S atoms and optionally substituted by halo, OH, alkyl, alkoxy, CF3, CF3O, COOH, alkyloxycarbonyl, cyano, or NH2; or R3 = propargyl substituted by: Ph [which can include 1-4 substituents chosen from halo, OH, alkyl, alkoxy, CF3, CF3O, CO2H, alkyloxycarbonyl, cyano, or NH2], by cycloalkyl contg. 3 -7 members, or by 5- to 6-membered arom. heterocyclyl with 1-4 N/O/S atoms [and (un)substituted by halo, OH, alkyl, alkoxy, CF3, CF3O, COOH, alkyloxycarbonyl, cyano, or NH2]; R4 = C1-6 alkyl, alkenyl-CH2, or alkynyl-CH2 (alkenyls or alkynyls comprise 2-6 C atoms), cycloalkyl, or cycloalkylalkyl (cycloalkyls comprises 3-8 C atoms);

L8 ANSWER 1 OF 6 MARPAT COPYRIGHT 2004 ACS on STN (Continued)
including various isomers, enantiomeric and diastereoisomeric forms, mixts. and salts thereof]. The novel derivs. are particularly interesting as antimicrobial agents. Two synthetic examples are given. For example, II was prepd. by alkylation of III.bul.HCl (prepn. given) with 2-(bromoethylsulfanyl)thiophene, followed by basic hydrolysis. In vivo, compds. I were active against exptl. infections of mice by Staphylococcus aureus IP 8203 at 12-150 mg/kg s.c., and at 26-150 mg/kg orally. None of the compds. showed toxicity in mice at 100 mg/kg s.c.

MSTR 1



G1 = NH2
G2 = CO2H
G4 = 70

G5 = 83

MPL: claim 1
NTE: and salts
STE: isomers, enantiomers, and diastereoisomers

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 6 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 140:146015 MARPAT
TITLE: Preparation of quinolylpropylpiperidines as antimicrobial agents
INVENTOR(S): Bacque, Eric; Malleron, Jean Luc; Mignani, Serge; Tabart, Michel
PATENT ASSIGNEE(S): Aventis Pharma SA, Fr.
SOURCE: Fr. Demande, 39 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2842807	A1	20040130	FR 2002-9334	20020723
US 2004058919	A1	20040325	US 2003-622655	20030718
WO 2004011454	A2	20040205	WO 2003-FR2306	20030722
WO 2004011454	A3	20040408		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MC, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NL, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			FR 2002-9334	20020723

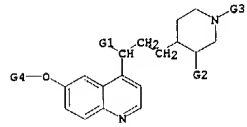
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein R1 = alkyl/dialkyl/hydroxy/alkyloxy/ alkyl alkyloxy/amino; R2 = carboxy, carboxymethyl, hydroxymethyl; R3 = (un)substituted alkyl, propargyl; R4 = alkyl, alkenyl-CH2 -, alkynyl-CH2-, cycloalkyl, cycloalkylalkyl; diastereoisomeric forms, mixts. thereof, cis or trans forms, and their salts] were prepd. as antimicrobial agents. Two synthetic examples are given. For example, II was prepd in 7 steps from olefin III by oxidn. with NaMnO4 to the acid concomitant with N-BOC-protection, esterification, followed by BOC deprotection, N-alkylation with propargylic alc., reaction of the resulting alkyne with 1-bromo-2,3,5-trifluorobenzene, oximation, redn. of the oxime, and hydrolysis of the ester. I were active against exptl. infections of mice by Staphylococcus aureus IP8203 at 65 mg/kg s.c., and at 70 mg/kg orally. None of the compds. showed acute toxicity in mice at 100 mg/kg s.c. (2 administrations).

MSTR 1

L8 ANSWER 2 OF 6 MARPAT COPYRIGHT 2004 ACS on STN (Continued)



G1 = NH2
G2 = CO2H
G4 = 98

MPL: claim 1
NTE: additional oxo formation also claimed
NTE: and salts
STE: and cis and trans and/or diastereoisomers

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

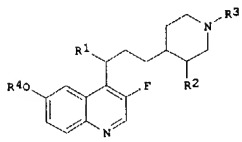
L8 ANSWER 3 OF 6 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 137:232568 MARPAT
TITLE: Quinolyl propyl piperidine derivatives, the preparation thereof and compositions containing same, useful as antimicrobials
INVENTOR(S): Bacque, Eric; Mignani, Serge; Malleron, Jean-Luc; Tabart, Michel; Evers, Michel; Viviani, Fabrice; El-Ahmad, Youssef; Mutti, Stephane; Daubie, Christophe
PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002072572	A1	20020919	WO 2002-FR851	20020311
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2822154	A1	20020920	FR 2001-3374	20010313
EP 1370550	A1	20031217	EP 2002-722329	20020311
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2002177606	A1	20021128	US 2002-96482	20020313
US 6602884	B2	20030805		
US 2003171369	A1	20030911	US 2003-387479	20030314
			FR 2001-3374	20010313
			US 2001-281407P	20010405
			WO 2002-FR851	20020311
			US 2002-96482	20020313

PRIORITY APPLN. INFO.:

GI



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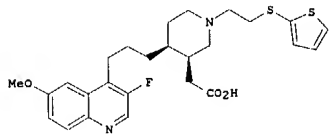
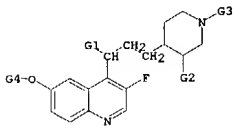


II

AB New 4-[3-(Quinol-4-yl)propyl]piperidine derivs. I are disclosed [wherein R1 = H, halo, OH, NH2, alkylamino, dialkylamino, hydroxyamino, alkoxyamino, or alkylalkoxyamino; R2 = COOH, CH2CO2H, CH2OH; R3 = C1-6 alkyl substituted by: (un)substituted SPh [which can include 1-4 substituents chosen from halo, OH, alkyl, alkoxy, CF3, CF3O, CO2H, alkyloxycarbonyl, cyano, or NH2], by 3- to 7-membered cycloalkylthio, or by 5- to 6-membered arom. heterocyclylthio comprising 1-4 N/O/S atoms and optionally substituted by halo, OH, alkyl, alkoxy, CF3, CF3O, oxo, COOH, alkyloxycarbonyl, cyano, or NH2; or R3 = propargyl substituted by: Ph [which can include 1-4 substituents chosen from halo, OH, alkyl, alkoxy, CF3, CF3O, CO2H, alkyloxycarbonyl, cyano, or NH2], by cycloalkyl contg. 3-7 members, or by 5- to 6-membered arom. heterocyclyl with 1-4 N/O/S atoms [and (un)substituted by halo, OH, alkyl, alkoxy, CF3, CF3O, oxo, COOH, alkyloxycarbonyl, cyano, or NH2]; R4 = C1-6 alkyl, alkenyl-CH2, or alkynyl-CH2- (alkenyls or alkynyls comprise 2-6 C atoms), cycloalkyl, or cycloalkylalkyl (cycloalkyls comprises 3-8 C atoms); including diastereoisomeric forms, mixts. thereof, cis or trans forms, and salts thereof]. The novel derivs. are particularly interesting as antimicrobial agents. Ten synthetic examples are given. For instance, Wittig reaction of 4(RS)-4-allyl-1-(benzyloxycarbonyl)piperidin-3-one with Ph3P:CHCO2Me gave a Z-isomeric exocyclic olefin, which underwent hydroboration at allyl and Pd-catalyzed coupling with 4-iodo-3-fluoro-6-methoxyquinoline, followed by hydrogenation of the olefin with concomitant N-deprotection, N-alkylation with 2-(2-bromoethylthio)thiophene, and sapon. of the Me ester, to give the racemic title compd. II.2HCl. Compds. I were active against exptl. infections of mice by Staphylococcus aureus IP 8203 at

12-150 mg/kg s.c., and at 26-150 mg/kg orally. None of the compds. showed toxicity in mice at 100 mg/kg s.c. (2 administrations).

MSTR 1



G1 = NH2
G2 = CO2H
G4 = 70

MPL: claim 1
NTE: and salts
STE: and diastereomers forms or mixtures and/or cis or trans forms

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 6 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 133:120244 MARPAT
TITLE: Preparation of piperidinylpropylquinolines and related compounds as protein tyrosine kinase inhibitors
INVENTOR(S): Davies, David Thomas; Henry, Caroline Joan; Pearson, Neil David
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000043383	A1	20000727	WO 2000-EP350	20000117
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1144404	A1	20011017	EP 2000-902605	20000117
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002535323	T2	20021022	JP 2000-594799	20000117
			GB 1999-1236	19990120
			GB 1999-23936	19991008
			WO 2000-EP350	20000117

PRIORITY APPLN. INFO.:

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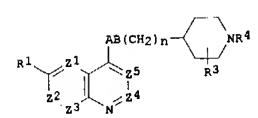
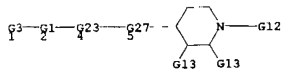


I

AB A method of treatment of bacterial infection comprises administration of title compds. [I; 1 of Z1-Z5 = N, CR1a, the remainder = CH; R1 = OH, (substituted) alkoxy, alkoxyalkyl, halo, alkyl, alkylthio, CF3, NO2, acyl, acyloxy, N3, etc.; R1a = H, R1; R3 = CO2H, alkoxycarbonyl, aminocarbonyl, cyano, tetrazolyl, oxooxazolidinyl, substituted alkyl, ethenyl, etc.; R4 = CH2R5; R5 = alkyl, hydroxyalkyl, alkoxyalkyl, alkanoyloxyalkyl, (substituted) phenylalkyl, etc.; n = 0-2; AB = NHCONH, NHCO2, or A = NR11, O, S, SO, SO2, CR6R7, B = NR11, O, S, SO, SO2, CR8R9; R6-R9 = H, SH, alkylthio, halo, CF3, alkyl, etc.; R11 = H, CF3, alkyl, alkenyl, alkoxycarbonyl, alkylcarbonyl, etc.; with provisos]. Thus,

L8 ANSWER 4 OF 6 MARPAT COPYRIGHT 2004 ACS on STN (Continued)
1-[3R,4R]-1-heptyl-3-(1-(R- or S)-hydroxy-2-cyanoethyl)-4-[3-(6-methoxyquinolin-4-yl)propyl]piperidine, prepd. in several steps from quinine, showed min. inhibitory concns. of .ltoreq.1 .mu.g/mL against a range of gram-pos. and gram-neg. bacteria.

MSTR 1



G1 = 7-1 12-4

G2 = CH (SO)
G3 = alkoxy<(1-6)> (SO G4)
G13 = CO2H
G25 = 391

G27 = (0-2) CH2
G29 = NH2
DER: or pharmaceutically acceptable derivatives
MPL: claim 1
NTE: substitution is restricted

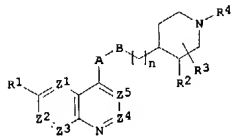
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L8 ANSWER 5 OF 6 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 132:293679 MARPAT
TITLE: Preparation of naphthyridines and their azaisosteric analogues as antibacterials
INVENTOR(S): Hatton, Ian Keith; Pearson, Neil David
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000021948	A1	20000420	WO 1999-GB3366	19991011
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9961146	A1	20000501	AU 1999-61146	19991011
EP 1127057	A1	20010829	EP 1999-947781	19991011
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002527431	T2	20020827	JP 2000-575854	19991011
US 2003212084	A1	20031113	US 2001-32403	20011220
PRIORITY APPLN. INFO.:			GB 1998-22450	19981014
			WO 1999-GB3366	19991011
			US 2000-807275	20000508

GI



I

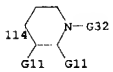
AB The title compds. [I; one of Z1-Z5 = N and the remainder are CH; R1 = H, OH, alkoxy, etc.; either R2 = H, and R3 is in the 2- or 3-position and is H, alkyl, alkenyl, etc.; or R3 is in the 3-position and R2 and R3 together
are a divalent :CR6R7 (wherein R6 and R7 = H, alkyl, alkenyl, etc.); R4 = CH2R5 (R5 = alkyl, hydroxyalkyl, alkoxyalkyl, etc.); n = 0-2; A, B = NR8,

L8 ANSWER 5 OF 6 MARPAT COPYRIGHT 2004 ACS on STN (Continued)
O, SOx, etc.; x = 0-2; R8 = H, CF3, alkyl, etc.] and their pharmaceutically acceptable derivs., useful in the treatment of bacterial infections in mammals, particularly in man, were prepd. E.g., a multi-step synthesis of (3R,4S)-I [Z1-Z4 = CH; Z5 = N; R1 = OMe; A = N(Me); B = CH2; n = 1; R2 = CH:CH2; R3 = H; R4 = n-heptyl] which showed MIC of 0.5 .mu.g/mL against S. aureus Oxford, M. catarrhalis Ravasio and S. pneumoniae, was given.

MSTR 1

G1 = 2-91 7-92

G2 = alkoxy<(1-6)> (SO G3)
G9 = Ak<EC (2-) C, BD (0-) D (0) T> (SO (1-) G37)
G10 = 114



G11 = alkyl<(1-6)> (SO (1-3) G12)
G12 = OH
G33 = 11

G37 = NH2
DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: also incorporates claim 8, structure IV

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L8 ANSWER 6 OF 6 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 131:129911 MARPAT
TITLE: Preparation of piperidinylalkylquinolines as antibacterials.
INVENTOR(S): Coates, William John; Gwynn, Michael Norman; Hatton, Ian Keith; Masters, Philip John; Pearson, Neil David; Rahman, Shahzad Sharooq; Slocombe, Brian; Warrack, Julie Dorothy
PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9937635	A1	19990729	WO 1999-EP333	19990121
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2318842	AA	19990729	CA 1999-2318842	19990121
AU 9927178	A1	19990809	AU 1999-27178	19990121
EP 1051413	A1	20001115	EP 1999-907388	19990121
EP 1051413	B1	20030604		
R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
JP 2002501061	T2	20020115	JP 2000-528558	19990121
ES 2201674	T3	20040316	ES 1999-907388	19990121
ZA 9900520	A	20000725	ZA 1999-520	19990125
PRIORITY APPLN. INFO.:			GB 1998-1630	19980126
			GB 1998-21072	19980929
			WO 1999-EP333	19990121

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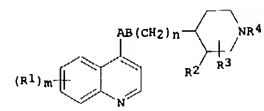
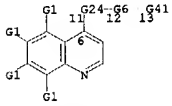


I

AB A method for treatment of bacterial infection comprises administration of title compds. [I; m = 1, 2; n = 0-2; R1 = OH, (substituted) alkoxy, alkoxyalkyl, halo, alkyl, alkylthio, NO2, N3, acyl, acyloxy, acylthio, etc.; R2 = H; R3 = H, (substituted) alkyl, alkenyl; R2R3 = :CR5R6; R5, R6 = H, (substituted) alkyl, alkenyl, arealkyl, aralkenyl; R4 = CH2R51; R51 =
alkyl, hydroxyalkyl, alkoxyalkyl, tetrahydrofuryl, acylaminoalkyl, cyanoalkyl, (substituted) phenylalkyl, etc.; A = NR11, O, S, SO, SO2,

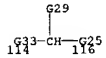
L8 ANSWER 6 OF 6 MARPAT COPYRIGHT 2004 ACS on STN (Continued)
CR6R7; B = NR11, O, S, SO, SO2, CR8R9; R6-R9 = H, SH, alkylthio, halo, CF3, N3, alkyl, alkenyl, alkoxycarbonyl, OH, amino, etc.; R11 = H, CF3, alkyl, alkenyl, alkoxycarbonyl, alkylcarbonyl, etc.; with provisos). Thus, hydroquinidine hydrochloride was refluxed 48 h in aq. HOAc to give (3R,4R)-3-ethyl-4-[3-oxo-3-(6-methoxyquinolin-4-yl)propyl]piperidine. The latter was refluxed 7 h with K2CO3 and 1-bromohexane in PhMe to give (3R,4R)-3-ethyl-1-hexyl-4-[3-oxo-3-(6-methoxyquinolin-4-yl)propyl]piperidine. The latter was stirred with NaBH4 in Me2CHOH at -10.degree. to give (3R,4R)-3-ethyl-1-hexyl-4-[3-(R,S)-hydroxy-3-(6-methoxyquinolin-4-yl)propyl]piperidine. The latter showed MIC = 4 .mu.g/mL against E. coli ESS, vs. >64 .mu.g/mL for vancomycin.

MSTR 1



G1 = alkoxy<(1-6)> (SO)
G7 = 27-11 30-13 26-24

G8 = CH2OH
G24 = 114-6 116-12



G25 = (0-2) CH2
G30 = N3
G33 = 130

DER: or pharmaceutically acceptable derivatives
MPL: claim 1
NTE: substitution is restricted

L8 ANSWER 6 OF 6 MARPAT COPYRIGHT 2004 ACS on STN (Continued)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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     FILE 'REGISTRY' ENTERED AT 14:30:41 ON 13 JUL 2004
L1                STRUCTURE UPLOADED
L2            0 S L1 SAM
L3            2 S L1 FULL
L4                STRUCTURE UPLOADED
L5            0 S L4 SAM
L6            4 S L4 FULL

     FILE 'CA' ENTERED AT 14:31:58 ON 13 JUL 2004
L7            2 S L6

     FILE 'MARPAT' ENTERED AT 14:32:08 ON 13 JUL 2004
L8            6 S L4 FULL

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---Logging off of STN---



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Executing the logoff script...


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STN INTERNATIONAL LOGOFF AT 14:33:14 ON 13 JUL 2004
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